Docket No.: 05412/100E887-US2

Application No.: 09/890,006

AMENDMENTS TO THE CLAIMS

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1. (Currently Amended) A compound having the general formula I:

wherein the LINKER is one or more of the groups selected from the group consisting of (i) substituted or unsubstituted alkyl, (ii) substituted or unsubstituted alkenyl, (iii) substituted or unsubstituted alkenyl, (iv) substituted or unsubstituted alkenyl wherein the double bond is cis, and (v) (ortho or para) carbonyl-substituted aryl; and

wherein the subtituent is each an independent group or linked together thereby forming a ring; and

wherein X is one or more substituted or unsubstituted group containing one or more O, N, or S atom and

wherein the substituent is each an independent group or linked together thereby forming a ring; and

wherein the therapeutic agent is selected from the group consisting of alcohol-containing water-insoluble steroids, anesthetics and sedatives,

and wherein said therapeutic agent is attached to X via an alcohol functional group -and another alcohol containing compounds.

- 2. (Original) A compound according to claim 1, wherein
 - (i) said alkyl has the formula CR₁R₂,
 - (ii) said alkenyl has the formula CR₁=CR₃-CR₄,
 - (iii) said alkanoyl has the formula CR₁R₂-CR₃R₄-CR₅R₆-CO,

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(iv) said alkenoyl has the formula CR₁R₂-CR₃=CR₄-CO and wherein the double bond is *cis*, and

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- (v) said substituted aryl has the formula aryl-CR₁R₂; and wherein R₁ R₂, R₃, R₄, R., and R₆ are the same or different and are selected from the group consisting of
 - (i) hydrogen;
 - (ii) linear, branched, and unsaturated C_{1-12} -alkyl;
- (iii) substituted C_{1-8} -alkyl, wherein the substituent is selected from the group consisting of Yl-Y24, wherein

Y1 is hydroxy,

Y2 is C_{1-8} -alkoxy,

Y3 is carbo- C_{1-8} -alkoxy,

Y4 is C_{1-8} - alkylamino,

Y5 is di- C_{1-8} -alkylamino,

Y6 is C_{6-12} -arylamino,

Y7 is C_{6-12} - aryloxy,

Y8 is amino,

Y9 is amino-C₂-C₈-alkoxy,

Y10 is C_{1-8} -alkylthio,

Y11 is C_{6-12} -arylthio,

Y12 is acetamido,

Y13 is mercapto,

Y14 is benzamido,

Y15 is carboxamido,

Y16 is phthalimido,

Y17 is guanidino,

Y18 is ureido,

Y19 is isothioureido,

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Y20 is carboxy,

Y21 is (C_{6-12}) aryl- (C_{1-8}) alkyl,

Y22 is (C_{6-12}) aryl- (C_{2-8}) alkenyl,

Y23 is aromatic heterocyclo (C_{1-8}) alkyl,

and Y24 is aromatic heterocyclo (C₂₋₈)alkenyl wherein

the heterocyclic group of Y23 and Y24 have 5 - 10 ring atoms and comprises up to two O, N, or S heteroatoms; and

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(iv) substituted Y21 or substituted Y23 wherein the substituent is selected from the group consisting of Y1, Y2, Y4, Y5, Y7, Y8, Y12, Y14, Y17-Y20, and Y25-Y29 wherein

Y25 is halogen,

Y26 is C_{1-8} -alkyl,

Y27 is amino- C_{1-8} -alkyl,

Y28 is C_{6-12} -aroyl, and

Y29 is C_{1-8} -alkanoyl.

- 3. (Original) A compound according to claim 2, wherein said R_1 and R_2 ; R_1 and R_3 ; R_2 and R_3 ; R_3 and R_4 ; R_3 and R_5 ; and R_5 and R_6 are linked together thereby forming:
 - (i) a ring of three to six carbon atoms, or
- (ii) a ring of two to five carbon atoms and one O, or S heteroatom, or substituted heteroatom NR₇; wherein R₇, is selected from the group consisting of Y21, Y26, Y28, Y29, and Y30-Y31, wherein Y30 is C₃₋₈-alkenyl, and

Y31 is C_{6-12} -aryl.

4. (Original) A compound according to claim 2 wherein the group containing one or more O, N, or S atom is selected from the group consisting of O, (O) CO, NR₈, NR₈ CO, NR₈ CO NR₉, NR₈ (SO₂), NR₈ CS, NR₈ CS NR₉, ONR₈, ONR₈CO, NR₈(0), NR₈(O)CO, nitrogen heterocycles, amide and urea internal in therapeutic agent; and

wherein R₈ and R₉ are the same or different and are selected from the group consisting of

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- (i) hydrogen;
- (ii) linear, branched, and unsaturated C_{1-12} -alkyl;
- (iii) substituted C₁₋₈-alkyl, wherein the substituent is selected from the group consisting of Y1-Y13 and Y15-Y25;
- (iv) substituted Y21 or substituted Y23 wherein the substituent is selected from the group consisting of Y1, Y2, Y4, Y5, Y7, Y8; Y12, Y14, Y17-Y20, and Y25-Y29.
- 5. (Original) A. compound according to claim 4 wherein R₈ and R₉ are linked together thereby forming
 - (i) a ring of three to six carbon atoms, or
- (ii) a ring of two to five carbon atoms and one O, or S heteroatom, or substituted heteroatom NR₇; wherein R₇ is selected from the group consisting of Y21, Y26, and Y28-Y31.
- 6. (Original) A compound according to claim 4 wherein R₈, R₉, or both are connected to the therapeutic agent molecule thereby forming alkylene bridge of from one to five carbon atoms and one or two O, S or NR₇ heteroatoms; wherein R₇, is selected from the group consisting of Y21, Y26, Y28-Y31, and the pharmaceutically acceptable salts thereof.
- 7. (Original) A compound according to claim 5 wherein R₈, R₉, or both are connected to the therapeutic agent molecule thereby forming alkylene bridge of from one to five carbon atoms and one or two O, S or NR, heteroatoms; wherein R₇ is selected from the group consisting of Y21, Y26, Y28-Y31; and the pharmaceutically acceptable salts thereof.
- 8. (Original) A compound according to claim 2, wherein said (*ortho* or *para*) carbonyl-substituted aryl is selected from the group consisting of *ortho*-CR₁R₂-substituted aryl-CO, substituted aryl-*ortho*-CR₃R₄-CO, substituted aryl-*ortho*-CR₃R₄-CO, substituted aryl-*ortho*-CR₃=R₄-CO wherein the double bond is *cis*, *ortho*-CR₁R₂-substituted aryl-CR₅R₆-CO, and substituted aryl-(*ortho* or *para*)-CO.

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9. (Original) A compound according to claim 2, wherein said aryl is selected from the group consisting of benzene, naphthalene, pyridine, pyrrole, thiophene, furan, imidazole, thiazole, oxazole, pyrimidine, indole, benzimidazole, benzthiazole, benzofuran, benzothiophene and quinoline, each bearing one or more of the group consisting of hydrogen, C₁₋₈,-alkyl, C₁₋₈-alkoxy, F, C1, Br, C₁₋₈-alkoxycarbonyl, amino, substituted amino, nitro, C₁₋₈-alkylthio, C₁₋₈,-alkylsulfoxido, and C₁₋₈-alkylsulfono.

- 10. (Original) A compound according to claim 2, wherein R_1 is hydrogen.
- 11. (Original) A compound according to claim 2, wherein R_1 and R_2 are hydrogen.
- 12. (Currently Amended) A compound according to claim 1, wherein the therapeutic agent is selected from the group consisting of Propofol and related an anesthetic compound or a sedative compounds compound.
- 13. (Original) A compound according to claim 1, wherein said water-insoluble steroids are selected from the group consisting of (i) testosterone, (ii) cardiotonic steroids selected from the group consisting of digitoxigenin, digoxigenin and ouabugenin,(iii) dehydroepiandrosterone (DHEA), (iv) etiocholanolone, (v) pregnenolone, (vi) estradiol, (vii) estrone, (viii) dexamethasone and (ix) hydrocortisone.
- 14. (Currently Amended) A compound according to composition comprising a compound of claim 1, further comprises one or more of the ingredients selected from the group consisting of and a pharmaceutically-acceptable carrier carriers, diluents, fillers, salts, buffers, preservatives, antioxidants, a binder, an excipient, a disintegrating agent, a lubricant, and a sweetening agent.
- 15. (Currently Amended) A compound according to claim 1 incorporated into tablets, capsules or elixirs for oral administration; suppositories for rectal administration; sterile

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solutions or suspensions for injectable administration; <u>or</u> sterile solutions for ocular (?) or internasal administration.

16. (Original) A compound having the general formula I:

wherein the LINKER is a substituted alkenyl of formula CR₁R₂-CR₃=CR₄-CO, wherein R₁, R₃, and R₄, are hydrogen and wherein the double bond is *trans*, and wherein X is 0 and wherein the therapeutic agent is 2',6'-diisopropyl phenol.

17. (Original) A compound having the general formula I:

wherein the LINKER is a substituted alkanoyl of formula CR_1R_2 - CR_3R_4 - CR_5R_6 -CO, wherein R_1 , R_2 , R_3 , R_4 , R_5 , and R_6 are H, and wherein X is 0 and wherein the therapeutic agent is 2',6'-diisopropyl phenol.

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18. (Currently Amended) A method enabling potential therapeutic agents to be rendered soluble comprising the steps of inserting one or more linker moieties having one or more primary alcohol group between a phosphocholine or a phosphocholine congener to the and the therapeutic agents, wherein the therapeutic agents are water-insoluble steroids, anesthetic or sedatives having one or more alcohol group.

- 19. (Currently Amended) A method for increasing the bioavailability of <u>a water-insoluble</u> <u>steroid</u>, <u>anesthetic or sedative</u> pharmaceutical agent comprising the steps of derivatizing the agent with one or more linker moieties, producing an intermediate, recovering and coupling the intermediate with phosphocholine or a phosphocholine-congener to the linkers, producing a final derivative and administering the final derivative to a mammal, wherein the agent in derivative form is significantly more soluble in aqueous media than the agent in non-derivatized form.
- 20. (Original) The method of claim 19 wherein the pharmaceutical agent is propofol.
- 21. (Canceled)
- 22. (New) The compound according to claim 12, wherein the anesthetic compound is propofol.
- 23. (New) The composition according to claim 13, wherein the pharmaceutically-acceptable carrier comprises one or more binder, filter, salt, buffer, preservative, antioxidant, disintegrating agent, lubricant or sweetening agent.
- 24. (New) The formulation of claim 21, wherein the physiologically acceptable carrier comprises one or more binder, preservative, stabilizers or flavor.